

70591 U.S. PTO  
07/02/97

SEQUENCE LISTING

(1) GENERAL INFORMATION:

(i) APPLICANT: Roeske, Roger W.

(ii) TITLE OF INVENTION: LHRH Antagonist Peptides

(iii) NUMBER OF SEQUENCES: 6

(iv) CORRESPONDENCE ADDRESS:

- (A) ADDRESSEE: LAHIVE & COCKFIELD
- (B) STREET: 60 State Street, Suite 510
- (C) CITY: Boston
- (D) STATE: Massachusetts
- (E) COUNTRY: USA
- (F) ZIP: 02109-1875

(v) COMPUTER READABLE FORM:

- (A) MEDIUM TYPE: Floppy disk
- (B) COMPUTER: IBM PC compatible
- (C) OPERATING SYSTEM: PC-DOS/MS-DOS
- (D) SOFTWARE: PatentIn Release #1.0, Version #1.25

(vi) CURRENT APPLICATION DATA:

- (A) APPLICATION NUMBER: 08/480,494
- (B) FILING DATE: 07-JUN-1995
- (C) CLASSIFICATION:

(viii) ATTORNEY/AGENT INFORMATION:

- (A) NAME: DeConti, Giulio A.
- (B) REGISTRATION NUMBER: 31,503
- (C) REFERENCE/DOCKET NUMBER: PPI-007

(ix) TELECOMMUNICATION INFORMATION:

- (A) TELEPHONE: (617)227-7400
- (B) TELEFAX: (617)227-5941

(2) INFORMATION FOR SEQ ID NO:1:

(i) SEQUENCE CHARACTERISTICS:

- (A) LENGTH: 10 amino acids
- (B) TYPE: amino acid
- (D) TOPOLOGY: linear

(ii) MOLECULE TYPE: peptide

(xi) SEQUENCE DESCRIPTION: SEQ ID NO:1:

Glu His Trp Ser Tyr Gly Leu Arg Pro Gly

1

5

10

35

(2) INFORMATION FOR SEQ ID NO:2:

(i) SEQUENCE CHARACTERISTICS:

- (A) LENGTH: 10 amino acids
- (B) TYPE: amino acid
- (D) TOPOLOGY: linear

(ii) MOLECULE TYPE: peptide

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 1
- (D) OTHER INFORMATION: /note= Xaa is pyroglutamyl or acetylsarcosine

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 3
- (D) OTHER INFORMATION: /note= Xaa is Trp or 3-(2-naphthyl)alaninyl

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 5
- (D) OTHER INFORMATION: /note= Xaa is N-methyl-Ala, Tyr, N-methyl-Tyr, Ser, N-ε-2-propyl-lysiny, (4'-chlorophenyl)alaninyl, His, Asn, Met, Ala, Arg or Ile

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 6
- (D) OTHER INFORMATION: /note= Xaa is an amino acid having a side chain comprising a dipolar moiety

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 7
- (D) OTHER INFORMATION: /note= Xaa is Leu or Trp

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 8
- (D) OTHER INFORMATION: /note= Xaa is N-ε-2-propyl-lysiny, Gln, Met or Arg

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 10
- (D) OTHER INFORMATION: /note= Xaa is Gly-NH<sub>2</sub>

(xi) SEQUENCE DESCRIPTION: SEQ ID NO:2:

36

Xaa His Xaa Ser Xaa Xaa Xaa Xaa Pro Xaa  
1 5 10

(2) INFORMATION FOR SEQ ID NO:3:

(i) SEQUENCE CHARACTERISTICS:

- (A) LENGTH: 10 amino acids
- (B) TYPE: amino acid
- (D) TOPOLOGY: linear

(ii) MOLECULE TYPE: peptide

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 1
- (D) OTHER INFORMATION: /note= Xaa is pyroglutamyl or acetylsarcosine

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 3
- (D) OTHER INFORMATION: /note= Xaa is Trp or 3-(2-naphthyl)alaninyl

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 5
- (D) OTHER INFORMATION: /note= Xaa is N-methyl-Ala, Tyr, N-methyl-Tyr, Ser, N-ε-2-propyl-lysinyl, (4'-chlorophenyl)alaninyl, His, Asn, Met, Ala, Arg or Ile

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 6
- (D) OTHER INFORMATION: /note= Xaa is an amino acid having a side chain comprising a cationic moiety

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 7
- (D) OTHER INFORMATION: /note= Xaa is Leu or Trp

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 8
- (D) OTHER INFORMATION: /note= Xaa is N-ε-2-propyl-lysinyl, Gln, Met or Arg

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 10
- (D) OTHER INFORMATION: /note= Xaa is Gly-NH<sub>2</sub>

37

(xi) SEQUENCE DESCRIPTION: SEQ ID NO:3:

Xaa His Xaa Ser Xaa Xaa Xaa Xaa Pro Xaa  
1 5 10

(2) INFORMATION FOR SEQ ID NO:4:

(i) SEQUENCE CHARACTERISTICS:

- (A) LENGTH: 10 amino acids
- (B) TYPE: amino acid
- (D) TOPOLOGY: linear

(ii) MOLECULE TYPE: peptide

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 1
- (D) OTHER INFORMATION: /note= Xaa is pyroglutamyl or acetylsarcosine

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 3
- (D) OTHER INFORMATION: /note= Xaa is Trp or 3-(2-naphthyl)alaninyl

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 5
- (D) OTHER INFORMATION: /note= Xaa is N-methyl-Ala, Tyr, N-methyl-Tyr, Ser, N-ε-2-propyl-lysinyl, (4'-chlorophenyl)alaninyl, His, Asn, Met, Ala, Arg or Ile

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 6
- (D) OTHER INFORMATION: /note= Xaa is an amino acid having a side chain comprising a receptor-modifying moiety

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 7
- (D) OTHER INFORMATION: /note= Xaa is Leu or Trp

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 8
- (D) OTHER INFORMATION: /note= Xaa is N-ε-2-propyl-lysinyl, Gln, Met or Arg

38

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 10
- (D) OTHER INFORMATION: /note= Xaa is Gly-NH<sub>2</sub>

(xi) SEQUENCE DESCRIPTION: SEQ ID NO:4:

Xaa His Xaa Ser Xaa Xaa Xaa Xaa Pro Xaa  
1 5 10

(2) INFORMATION FOR SEQ ID NO:5:

(i) SEQUENCE CHARACTERISTICS:

- (A) LENGTH: 10 amino acids
- (B) TYPE: amino acid
- (D) TOPOLOGY: linear

(ii) MOLECULE TYPE: peptide

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 1
- (D) OTHER INFORMATION: /note= Xaa is pyroglutamyl or acetylsarcosine

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 3
- (D) OTHER INFORMATION: /note= Xaa is Trp or 3-(2-naphthyl)alaninyl

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 5
- (D) OTHER INFORMATION: /note= Xaa is N-methyl-Ala, Tyr, N-methyl-Tyr, Ser, N-ε-2-propyl-lysyl, (4'-chlorophenyl)alaninyl, His, Asn, Met, Ala, Arg or Ile

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 6
- (D) OTHER INFORMATION: /note= Xaa is an amino acid having a side chain comprising an N-acyl hydrophilic moiety

(ix) FEATURE:

- (A) NAME/KEY: Modified-site
- (B) LOCATION: 7
- (D) OTHER INFORMATION: /note= Xaa is Leu or Trp

(ix) FEATURE:

- (A) NAME/KEY: Modified-site

39

(B) LOCATION: 8  
(D) OTHER INFORMATION: /note= Xaa is N- $\epsilon$ -2-propyl-lysanyl,  
Gln, Met or Arg

(ix) FEATURE:  
(A) NAME/KEY: Modified-site  
(B) LOCATION: 10  
(D) OTHER INFORMATION: /note= Xaa is Gly-NH<sub>2</sub>

(xi) SEQUENCE DESCRIPTION: SEQ ID NO:5:

Xaa His Xaa Ser Xaa Xaa Xaa Xaa Pro Xaa  
1 5 10

(2) INFORMATION FOR SEQ ID NO:6:

(i) SEQUENCE CHARACTERISTICS:  
(A) LENGTH: 10 amino acids  
(B) TYPE: amino acid  
(D) TOPOLOGY: linear

(ii) MOLECULE TYPE: peptide

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(ix) FEATURE:  
(A) NAME/KEY: Modified-site  
(B) LOCATION: 1  
(D) OTHER INFORMATION: /note= Xaa is pyroglutamyl or  
acetylsarcosine

(ix) FEATURE:  
(A) NAME/KEY: Modified-site  
(B) LOCATION: 3  
(D) OTHER INFORMATION: /note= Xaa is Trp or  
3-(2-naphthyl)alaninyl

(ix) FEATURE:  
(A) NAME/KEY: Modified-site  
(B) LOCATION: 5  
(D) OTHER INFORMATION: /note= Xaa is N-méthyl-Ala, Tyr,  
N-methyl-Tyr, Ser, N- $\epsilon$ -2-propyl-lysanyl,  
(4'-chlorophenyl)alaninyl, His, Asn, Met, Ala, Arg or Ile

(ix) FEATURE:  
(A) NAME/KEY: Modified-site  
(B) LOCATION: 6  
(D) OTHER INFORMATION: /note= Xaa is an amino acid having a  
side chain comprising a small polar moiety

(ix) FEATURE:  
(A) NAME/KEY: Modified-site  
(B) LOCATION: 7

*40*

(D) OTHER INFORMATION: /note= Xaa is Leu or Trp

(ix) FEATURE:

(A) NAME/KEY: Modified-site

(B) LOCATION: 8

(D) OTHER INFORMATION: /note= Xaa is N-ε-2-propyl-lysanyl,  
Gln, Met or Arg

(ix) FEATURE:

(A) NAME/KEY: Modified-site

(B) LOCATION: 10

(D) OTHER INFORMATION: /note= Xaa is Gly-NH<sub>2</sub>

(xi) SEQUENCE DESCRIPTION: SEQ ID NO:6:

Xaa His Xaa Ser Xaa Xaa Xaa Xaa Pro Xaa  
1 5 10

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cont

41